Docket No: AM100055-D2

Patent

AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1. (Currently Amended) A compound of formula I

$$R_3$$
-N- $(CR_1R_2)_n$ -Z
 $(R_5)_m$
 W -R₆

wherein

W is SO, CO, CONH, CSNH or CH,;

X is CR, or N;

Y is CR_s or N with the proviso that when X is N, then Y must be CR_s ;

Z is O, SO, or NR,;

R, and R, are each independently H or C1-C6alkyl;

n is an integer of 2, 3 or 4;

 R_3 and R_4 are each independently H, $CNR_{10}NR_{11}R_{12}$, or a C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, cycloheteroalkyl, aryl or heteroaryl group each optionally substituted, or R_3 and R_4 may be taken together with the atom to which they are attached to form an optionally substituted 3- to 6-membered ring optionally containing an additional heteroatom selected from O, N or S;

 R_5 is H, halogen, CN, OR_{13} , CO_2R_{14} , $CONR_{15}R_{16}$, $CNR_{17}NR_{18}R_{19}$, $SO_2NR_{20}R_{21}$, SO_qR_{22} or a C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl, cycloheteroalkyl, phenyl or heteroaryl group each optionally substituted;

m is an integer of 1, 2 or 3;

p and q are each independently 0 or an integer of 1 or 2;

- R_6 is an optionally substituted C_1 — C_6 alkyl, aryl or heteroaryl group;
- R, and R₈ are each independently H, halogen or a C₁-C₆ alkyl, aryl, heteroaryl or C₁-C₆alkoxy group each optionally substituted;
- R, is H or a C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, cycloheteroalkyl, aryl or heteroaryl group each optionally substituted;
- R_{10} , R_{11} , R_{12} , R_{15} , R_{16} , R_{17} , R_{18} and R_{19} are each independently H or C_1-C_4 alkyl;
- R₁₃ is H, COR₂₃ or a C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, aryl or heteroaryl group each optionally substituted;
- R_{14} is H or a C_1 - C_6 alkyl, aryl or heteroaryl group each optionally substituted;
- R_{20} and R_{21} are each independently H or a C_1-C_6 alkyl, aryl or heteroaryl group each optionally substituted; and
- R_{22} and R_{23} are each independently an optionally substituted C_1-C_6 alkyl, aryl or heteroaryl group; or a pharmaceutically acceptable salt thereof.
- 2. (Original) The compound according to claim 1 wherein \mbox{W} is \mbox{SO}_2 .
- 3. (Original) The compound according to claim 1 wherein ${\tt Z}$ is 0.
- 4. (Original) The compound according to claim 1 wherein n is 2.
 - 5. (Cancelled)
- 6. (Original) The compound according to claim 1 wherein X is CR_7 and R_5 and R_7 are H.
- 7. (Original) The compound according to claim 2 wherein R_1 and R_2 are H; Z is O; and n is 2.

8. (Original) The compound according to claim 6 wherein W is SO_2 ; Z is O; and R_3 and R_4 are taken together with the atom to which they are attached to form a 5- or 6-membered ring optionally containing one oxygen atom.

9. (Cancelled)

10. (Currently Amended) A method for the treatment of a disorder of the central nervous system related to or affected by the 5-HT6 receptor in a patient in need thereof which comprises providing to said patient a therapeutically effective amount of a compound of formula I.

$$R_3$$
-N- $(CR_1R_2)_n$ -Z
 $(R_5)_m$
 W - R_6
 (I)

wherein

W is SO,, CO, CONH, CSNH or CH,;

X is CR, or N;

Y is CR₈ or N with the proviso that when X is N, then Y must be CR₈;

Z is O, SO, or NR,;

R, and R, are each independently H or C,-C,alkyl;

n is an integer of 2, 3 or 4;

 R_3 and R_4 are each independently H, $CNR_{10}NR_{11}R_{12}$, or a C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, cycloheteroalkyl, aryl or heteroaryl group each optionally substituted, or R_3 and R_4 may be taken together with the atom to which they are attached to form an optionally substituted 3- to 6-membered ring optionally containing an additional heteroatom selected from O, N or S;

 R_s is H, halogen, CN, OR_{13} , CO_2R_{14} , $CONR_{15}R_{16}$, $CNR_{17}NR_{18}R_{19}$, $SO_2NR_{20}R_{21}$, SO_qR_{22} or a C_1-C_6 alkyl, C_2-C_6 alkenyl,

C₂-C₆alkynyl, C₃-C₆cycloalkyl, cycloheteroalkyl, phenyl or heteroaryl group each optionally substituted;

- m is an integer of 1, 2 or 3;
- p and q are each independently 0 or an integer of 1 or 2;
- R_{ϵ} is an optionally substituted C_{ϵ} $C_{\epsilon}alkyl,$ aryl or heteroaryl group;
- R_{s} and R_{s} are each independently H, halogen or a C_{1} - C_{6} alkyl, aryl, heteroaryl or C_{1} - C_{6} alkoxy group each optionally substituted;
- R, is H or a C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₆cycloalkyl, cycloheteroalkyl, aryl or heteroaryl group each optionally substituted;
- R_{10} , R_{11} , R_{12} , R_{15} , R_{16} , R_{17} , R_{18} and R_{19} are each independently H or C_1-C_4 alkyl;
- R_{13} is H, COR_{23} or a C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, aryl or heteroaryl group each optionally substituted;
- R_{14} is H or a C_1 - C_6 alkyl, aryl or heteroaryl group each optionally substituted;
- R_{20} and R_{21} are each independently H or a C_1 - C_6 alkyl, aryl or heteroaryl group each optionally substituted; and
- R_{22} and R_{23} are each independently an optionally substituted C_1-C_6 alkyl, aryl or heteroaryl group; or a pharmaceutically acceptable salt thereof.
- 11. (Original) The method according to claim 10 wherein said disorder is a motor disorder, anxiety disorder or cognitive disorder.
- 12. (Original) The method according to claim 10 wherein said disorder is schizophrenia or depression.
- 13. (Original) The method according to claim 11 wherein said cognitive disorder is attention deficit disorder.
- 14. (Original) The method according to claim 11 wherein said cognitive disorder is Alzheimer's disease or Parkinson's disease.

15. (Currently Amended) A pharmaceutical composition which comprises a pharmaceutically acceptable carrier and an effective amount of a compound of formula I.

$$R_3$$
— N — $(CR_1R_2)_n$ — Z
 $(R_5)_m$
 W - R_6
(I)

wherein

W is SO₂, CO, CONH, CSNH or CH₂;

X is CR, or N;

Y is CR₈ or N with the proviso that when X is N, then Y must be CR₈;

Z is O, SO, or NR,;

 R_1 and R_2 are each independently H or C_1-C_6 alkyl;

n is an integer of 2, 3 or 4;

- R_3 and R_4 are each independently H, $CNR_{10}NR_{11}R_{12}$, or a C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, cycloheteroalkyl, aryl or heteroaryl group each optionally substituted, or R_3 and R_4 may be taken together with the atom to which they are attached to form an optionally substituted 3- to 6-membered ring optionally containing an additional heteroatom selected from O, N or S;
- R_5 is H, halogen, CN, OR_{13} , CO_2R_{14} , $CONR_{15}R_{16}$, $CNR_{17}NR_{18}R_{19}$, $SO_2NR_{20}R_{21}$, SO_qR_{22} or a C_1-C_6 alkyl, C_2-C_6 alkenyl, C_3-C_6 cycloalkyl, cycloheteroalkyl, phenyl or heteroaryl group each optionally substituted;

m is an integer of 1, 2 or 3;

p and q are each independently 0 or an integer of 1 or 2;

 R_{ϵ} is an optionally substituted C_{ϵ} - C_{ϵ} alkyl, aryl or heteroaryl group;

 R_{s} and R_{s} are each independently H, halogen or a C_{1} - C_{6} alkyl, aryl, heteroaryl or C_{1} - C_{6} alkoxy group each optionally substituted;

 R_9 is H or a C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl,

C₃-C₆cycloalkyl, cycloheteroalkyl, aryl or heteroaryl group each optionally substituted;

 R_{10} , R_{11} , R_{12} , R_{15} , R_{16} , R_{17} , R_{18} and R_{19} are each independently H or C_1-C_4 alkyl;

 R_{13} is H, COR_{23} or a C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, aryl or heteroaryl group each optionally substituted;

 R_{14} is H or a C_1 - C_6 alkyl, aryl or heteroaryl group each optionally substituted;

 R_{20} and R_{21} are each independently H or a C_1 - C_6 alkyl, aryl or heteroaryl group each optionally substituted; and

 R_{22} and R_{23} are each independently an optionally substituted C_1-C_6 alkyl, aryl or heteroaryl group; or a pharmaceutically acceptable salt thereof.

16. (Original) The composition according to claim 15 wherein W is SO,; Z is O; and n is 2.

17. (Cancelled)

18. (Currently Amended) The composition according to claim [[17]] $\underline{16}$ wherein X is CR, and R₁, R₂, R₅, and R, are H.

19. (Cancelled)

20. (Currently Amended) A method for the preparation of a compound of formula Ia $\,$

$$R_3$$
 N
 $(CR_1R_2)_n$
 X
 $(R_5)_m$
 SO_2R_6
(Ia)

wherein

X is CR, or N;

Y is CR₈ or N with the proviso that when X is N, then Y must be CR₈;

Z is O, SO, or NR,;

R, and R, are each independently H or C,-C,alkyl;

- n is an integer of 2, 3 or 4;
- R_3 and R_4 are each independently H, $CNR_{10}NR_{11}R_{12}$, or a C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, cycloheteroalkyl, aryl or heteroaryl group each optionally substituted, or R_3 and R_4 may be taken together with the atom to which they are attached to form an optionally substituted 3- to 6-membered ring optionally containing an additional heteroatom selected from O, N or S;
- R_5 is H, halogen, CN, OR_{13} , CO_2R_{14} , $CONR_{15}R_{16}$, $CNR_{17}NR_{18}R_{19}$, $SO_2NR_{20}R_{21}$, SO_qR_{22} or a C_1-C_6 alkyl, C_2-C_6 alkenyl, C_3-C_6 cycloalkyl, cycloheteroalkyl, phenyl or heteroaryl group each optionally substituted;
- m is an integer of 1, 2 or 3;
- p and q are each independently 0 or an integer of 1 or 2;
- R_6 is an optionally substituted C_1 — C_6 alkyl, aryl or heteroaryl group;
- R_{1} and R_{2} are each independently H, halogen or a C_{1} - C_{6} alkyl, aryl, heteroaryl or C_{1} - C_{6} alkoxy group each optionally substituted;
- R, is H or a C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, cycloheteroalkyl, aryl or heteroaryl group each optionally substituted;
- R_{10} , R_{11} , R_{12} , R_{15} , R_{16} , R_{17} , R_{18} and R_{19} are each independently H or C_1-C_4 alkyl;
- R_{13} is H, COR_{23} or a C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, aryl or heteroaryl group each optionally substituted;
- R_{14} is H or a C_1 - C_6 alkyl, aryl or heteroaryl group each optionally substituted;
- R_{20} and R_{21} are each independently H or a C_1 - C_6 alkyl, aryl or heteroaryl group each optionally substituted; and
- R_{22} and R_{23} are each independently an optionally substituted C_1 C_6 alkyl, aryl or heteroaryl group

which method comprises reacting a compound of formula V'

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Hal—
$$(CR_1R_2)_n$$
—Z
$$(R_5)_m$$

$$SO_2R_6$$

$$(V')$$

wherein Hal is Cl, Br or I and X, Y, Z, n, m, R_1 , R_2 , R_5 and R_6 are as defined hereinabove with an amine, HNR_3R_4 , wherein R_3 and R_4 are defined hereinabove optionally in the presence of a solvent to give the desired compound of formula Ia.